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For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: **COMPOUNDS TO TREAT ALZHEIMER'S DISEASE**

(57) Abstract: The present invention is substituted amines of formula (X) useful in treating Alzheimer's disease and other similar diseases.



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INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 01/21012

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C233/78 C07D307/52 C07D307/14 C07D213/38 C07D295/12
 C07D333/20 C07C317/44 C07D213/82 C07C233/40 A61K31/165
 A61K31/33 A61P25/28

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, BEILSTEIN Data, EPO-Internal, WPI Data, PAJ

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	J.S. NG ET AL: TETRAHEDRON, vol. 51, no. 23, 1995, pages 6397-6410, XP002200382 page 6401, scheme III, compound 12 ---	81
A	WO 98 33795 A (THE REGENTS OF THE UNIVERSITY OF CALIFORNIA) 6 August 1998 (1998-08-06) cited in the application page 4, lines 8-26; page 7, lines 21-26; table 1; page 30, line 1 - page 32, line 28; claims --- -/--	1,145, 146,156, 183-185, 218,223, 229,232, 234,241, 242, 252-255

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
 "E" earlier document but published on or after the international filing date
 "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
 "O" document referring to an oral disclosure, use, exhibition or other means
 "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
 "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
 "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
 "A" document member of the same patent family

Date of the actual completion of the international search

29 May 2002

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 01/21012

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	N. CHEVALLIER ET AL: BRAIN RESEARCH, vol. 750, no. 1/2, 1997, pages 11-19, XP000921314	
A	--- EP 0 652 009 A (ELI LILLY AND CO ET AL) 10 May 1995 (1995-05-10) cited in the application -----	

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 01/21012

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
see FURTHER INFORMATION sheet PCT/ISA/210
2. ☒ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-25, 71-81, 134-255

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.1

Although claims 145-182, 221-222, 230-240 and, in as far as they relate to a treatment in vivo, claims 218, 220, 223-224, 226-229, 242 and 244 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

Continuation of Box I.2

Formula X of claim 1 and formulae VIII and XVI of claims 71 and 134, respectively, all relate to an extremely large number of possible compounds. In fact, the claims contain so many options and possible permutations that a lack of clarity and conciseness within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible. The search has been guided by those parts of the application which do appear to be sufficiently clear and concise, namely the examples relating to compounds of formulae X, VIII and XVI, and has been carried out for compounds of formulae X, VIII and XVI, wherein, where appropriate,

R1 = (VI) with n1 = 1 and R1-aryl = phenyl, optionally substituted with 1-4 the same or different (A) - (N);

R2 = R3 = H;

RN = (I) with XN = A; II, III, IV, V or VI;

RC = I - XXIX.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-25,71-81,134-255

Compounds of formula X and their use in the treatment of Alzheimer's disease; intermediates of formulae VIII and XVI.

2. Claims: 26-47

Intermediates of formulae III and IV.

3. Claims: 48-57

Intermediates of formula V.

4. Claims: 58-70, 105-114

Intermediates of formulae VII and XIII.

5. Claims: 82-94

Intermediates of formula XI.

6. Claims: 95-104,115-133

Intermediates of formulae XII, XIV and XV

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 01/21012

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9833795	A	06-08-1998	
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